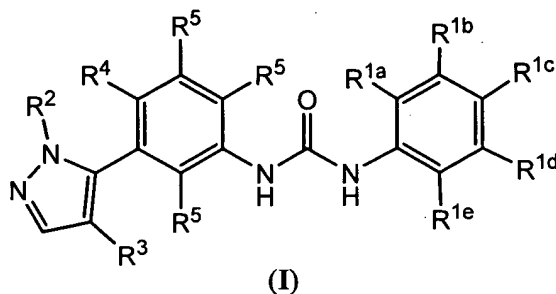


Version with markings to show changes made.

1. (original) A process for preparing a compound of Formula (I):



wherein:

R^{1a} , R^{1b} , R^{1c} , R^{1d} , and R^{1e} are each, independently, H, halo, cyano, nitro, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, OR^7 , SR^7 , SOR^8 , SO_2R^8 , COR^8 , $COOR^7$, $OC(O)R^8$, NR^9R^{10} , carbocyclyl optionally substituted by one or more R^6 or heterocyclyl optionally substituted by one or more R^6 ; or R^{1a} and R^{1b} , R^{1b} and R^{1c} , R^{1c} and R^{1d} , or R^{1d} and R^{1e} together with the carbon atoms to which they are attached form a fused C_{5-7} cycloalkyl group or fused C_{5-7} heterocycloalkyl group; wherein each of said C_{1-6} alkyl, C_{2-6} alkenyl, and C_{2-6} alkynyl, is optionally substituted with one or more C_{1-6} acyl, C_{1-6} acyloxy, C_{1-6} alkoxy, C_{1-6} thioalkoxy, carboxamide, C_{1-6} alkylcarboxamide, C_{2-8} dialkylcarboxamide, C_{1-6} alkylsulfonamide, C_{1-6} alkylsulfinyl, C_{1-6} alkylsulfonyl, C_{1-6} alkylureido, amino, C_{1-6} alkylamino, C_{2-8} dialkylamino, C_{1-6} alkoxycarbonyl, carboxy, cyano, C_{3-7} cycloalkyl, halogen, C_{1-6} haloalkoxy, C_{1-6} halothioalkoxy, C_{1-6} haloalkyl, C_{1-6} haloalkylsulfinyl, C_{1-6} haloalkylsulfonyl, hydroxyl, mercapto or nitro;

R^2 is C_{1-4} alkyl;

R^3 is F, Cl, Br or I;

R^4 is halo, cyano, nitro, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} alkoxy, SR^{11} , SOR^{12} , SO_2R^{12} , COR^{12} , $COOR^{11}$, $OC(O)R^{12}$, $NR^{13}R^{14}$, or C_{3-7} cycloalkyl, wherein said C_{1-6} alkoxy group is optionally substituted with one or more C_{1-5} acyl, C_{1-5} acyloxy, C_{2-6} alkenyl, C_{1-4} alkoxy, C_{1-8} alkyl, C_{1-6} alkylamino, C_{2-8} dialkylamino, C_{1-4} alkylcarboxamide, C_{2-6} alkynyl, C_{1-4} alkylsulfonamide, C_{1-4} alkylsulfinyl, C_{1-4} alkylsulfonyl, C_{1-4} thioalkoxy, C_{1-4} alkylureido, amino, $(C_{1-6}$ alkoxy)carbonyl, carboxamide, carboxy, cyano, C_{3-6} cycloalkyl, C_{2-6} dialkylcarboxamide, halogen, C_{1-4} haloalkoxy, C_{1-4} haloalkyl, C_{1-}

$_4$ haloalkylsulfinyl, C_{1-4} haloalkylsulfonyl, C_{1-4} halothioalkoxy, hydroxyl, nitro or phenyl optionally substituted with 1 to 5 halogen atoms;

R^5 , at each independent occurrence, is H, halo, cyano, nitro, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} alkoxy, SR^{11} , SOR^{12} , SO_2R^{12} , COR^{12} , $COOR^{11}$, $OC(O)R^{12}$, $NR^{13}R^{14}$, or C_{3-7} cycloalkyl, wherein said C_{1-6} alkoxy group is optionally substituted with one or more C_{1-5} acyl, C_{1-5} acyloxy, C_{2-6} alkenyl, C_{1-4} alkoxy, C_{1-8} alkyl, C_{1-6} alkylamino, C_{2-8} dialkylamino, C_{1-4} alkylcarboxamide, C_{2-6} alkynyl, C_{1-4} alkylsulfonamide, C_{1-4} alkylsulfinyl, C_{1-4} alkylsulfonyl, C_{1-4} thioalkoxy, C_{1-4} alkylureido, amino, (C_{1-6} alkoxy)carbonyl, carboxamide, carboxy, cyano, C_{3-6} cycloalkyl, C_{2-6} dialkylcarboxamide, halogen, C_{1-4} haloalkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkylsulfinyl, C_{1-4} haloalkylsulfonyl, C_{1-4} halothioalkoxy, hydroxyl, nitro or phenyl optionally substituted with 1 to 5 halogen atoms;

R^6 is halo, cyano, nitro, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy, C_{1-4} haloalkoxy, amino, (C_{1-4} alkyl)amino, di(C_{1-4} alkyl)amino, hydroxy, carboxy, (C_{1-4} alkoxy)carbonyl, C_{1-4} acyl, C_{1-4} acyloxy, aminocarbonyl, (C_{1-4} alkyl)aminocarbonyl, or di(C_{1-4} alkyl)aminocarbonyl;

R^7 and R^{11} are each, independently, H, C_{1-8} alkyl, C_{1-8} haloalkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, aryl, heteroaryl, C_{3-7} cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, (C_{3-7} cycloalkyl)alkyl or (5-7 membered heterocycloalkyl)alkyl;

R^8 and R^{12} are each, independently, H, C_{1-8} alkyl, C_{1-8} haloalkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, aryl, heteroaryl, C_{3-7} cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, (C_{3-7} cycloalkyl)alkyl, (5-7 membered heterocycloalkyl)alkyl, amino, (C_{1-4} alkyl)amino, or di(C_{1-4} alkyl)amino;

R^9 and R^{10} are each, independently, H, C_{1-8} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, aryl, heteroaryl, C_{3-7} cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, (C_{3-7} cycloalkyl)alkyl, (5-7 membered heterocycloalkyl)alkyl, (C_{1-8} alkyl)carbonyl, (C_{1-8} haloalkyl)carbonyl, (C_{1-8} alkoxy)carbonyl, (C_{1-8} haloalkoxy)carbonyl, (C_{1-4} alkyl)sulfonyl, (C_{1-4} haloalkyl)sulfonyl or arylsulfonyl;

or R^9 and R^{10} , together with the N atom to which they are attached form a 5-7 membered heterocycloalkyl group; and

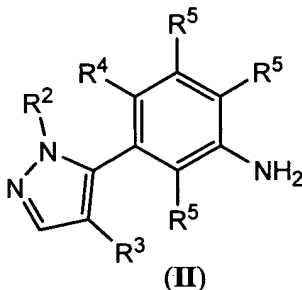
R^{13} and R^{14} are each, independently, H, C_{1-8} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, aryl, heteroaryl, C_{3-7} cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, (C_{3-7} cycloalkyl)alkyl, (5-7 membered heterocycloalkyl)alkyl, (C_{1-8} alkyl)carbonyl, (C_{1-8}

haloalkyl)carbonyl, (C₁₋₈ alkoxy)carbonyl, (C₁₋₈ haloalkoxy)carbonyl, (C₁₋₄ alkyl)sulfonyl, (C₁₋₄ haloalkyl)sulfonyl or arylsulfonyl;

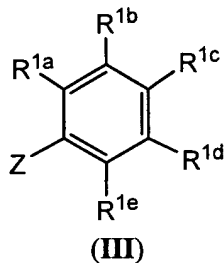
or R¹³ and R¹⁴, together with the N atom to which they are attached form a 5-7 membered heterocycloalkyl group;

the process comprising:

- a) reacting a compound of Formula (II):

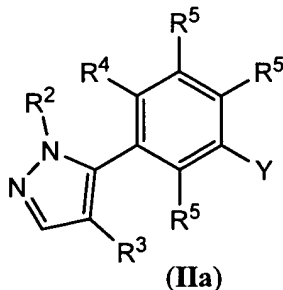


with a compound of Formula (III):

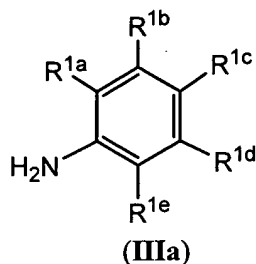


wherein Z is an isocyanate group (–NCO) or isocyanate equivalent, for a time and under conditions suitable for forming said compound of Formula (I); or

- b) reacting a compound of Formula (II) with an isocyanate-generating reagent for a time and under conditions suitable for forming a compound of Formula (IIa):



wherein Y is an isocyanate group or isocyanate equivalent; and reacting said compound of Formula (IIa) with a compound of Formula (IIIa):



for a time and under conditions suitable for forming said compound of Formula (I).

Claims 2 to 25 cancelled.

26. (original) The process of claim 1 wherein:

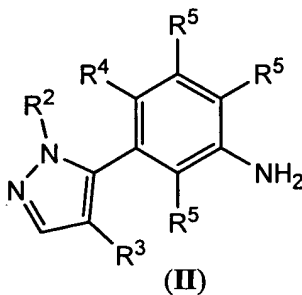
- R^{1a} is F;
- R^{1b} is H;
- R^{1c} is F;
- R^{1d} is H;
- R^{1e} is H;
- R² is methyl;
- R³ is Br;
- R⁴ is methoxy; and
- R⁵, at each occurrence, is H.

27. (original) The process of claim 1 wherein:

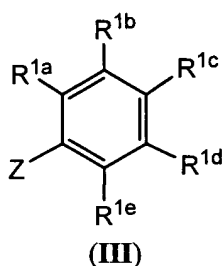
- R^{1a} is H;
- R^{1b} is H;
- R^{1c} is Cl;
- R^{1d} is H;
- R^{1e} is H;
- R² is methyl;
- R³ is Br;
- R⁴ is methoxy; and
- R⁵, at each occurrence, is H.

Claims 28 to 31 cancelled

32. (original) The process of claim 1 wherein the process comprises reacting a compound of Formula (II):



with a compound of Formula (III):



wherein Z is an isocyanate group, for a time and under conditions suitable for forming said compound of Formula (I).

33. (original) The process of claim 32 wherein said reacting is carried out in an organic solvent.
34. (original) The process of claim 33 wherein said organic solvent comprises an aromatic solvent.
35. (original) The process of claim 33 wherein said organic solvent comprises toluene.

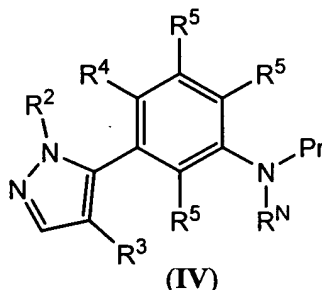
Claims 36 to 39 cancelled

40. (original) The process of claim 33 wherein said reacting is carried out at a reduced temperature.
41. (original) The process of claim 40 wherein said reduced temperature is about 10 to about 20 °C.

Claims 42 to 44 cancelled

45. (original) The process of claim 33 wherein said compound of Formula (III) is added in molar excess relative to the amount of Formula (II).

46. (amended) The process of claim 1 wherein said compound of Formula (II) is prepared by the process comprising ~~reacting~~deprotecting a compound of Formula (IV):



wherein:

Pr is an amino protecting group; and

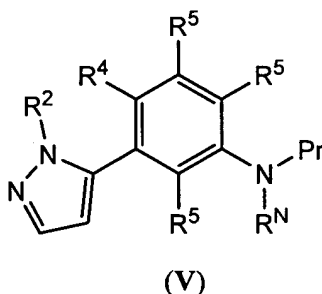
R^N is H;

or Pr and R^N together with the N atom to which they are attached form a cyclic amino protecting group;

with a deprotecting agent for a time and under conditions suitable for forming said compound of Formula (II).

Claims 47 to 58 cancelled

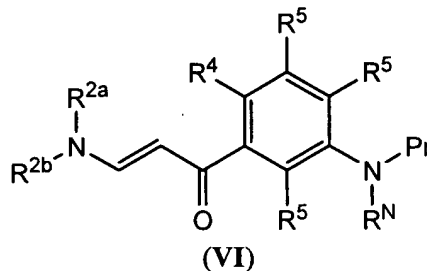
59. (amended) The process of claim 46 wherein said compound of Formula (IV) is prepared by the process comprising ~~reacting~~halogenating a compound of Formula (V):



with a halogenating reagent for a time and under conditions suitable for forming said compound of Formula (IV).

Claims 60 to 66 cancelled

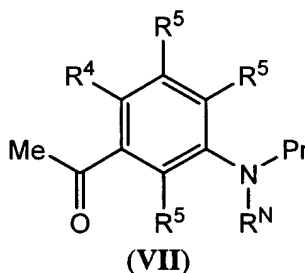
67. (amended) The process of claim 59 wherein said compound of Formula (V) is prepared by the process comprising ~~reacting~~cyclizing a compound of Formula (VI):



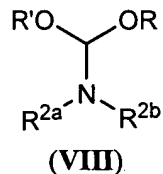
wherein R^{2a} and R^{2b} are each, independently, C_{1-4} alkyl, with an alkylhydrazine having the formula NH_2NH-R^2 for a time and under conditions suitable for forming said compound of Formula (V).

Claims 68 to 79 cancelled

80. (amended) The process of claim 67 wherein said compound of Formula (VI) is prepared by the processes comprising ~~reacting~~condensing a compound of Formula (VII):



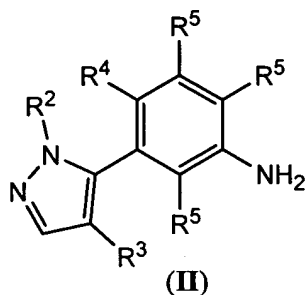
with an acetal of Formula (VIII):



wherein R and R' are each, independently, C_{1-6} alkyl, arylalkyl or alkylaryl, or R and R' together with the O atoms to which they are attached and the intervening CH group form a 5- or 6-membered heterocycloalkyl group, for a time and under conditions suitable for forming said compound of Formula (VI).

Claims 81 to 90 cancelled

91. (original) A process for preparing a compound of Formula (II):



wherein:

R² is C₁₋₄ alkyl;

R³ is F, Cl, Br or I;

R⁴ is halo, cyano, nitro, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, SR¹¹, SOR¹², SO₂R¹², COR¹², COOR¹¹, OC(O)R¹², NR¹³R¹⁴, or C₃₋₇ cycloalkyl, wherein said C₁₋₆ alkoxy group is optionally substituted with one or more C₁₋₅ acyl, C₁₋₅ acyloxy, C₂₋₆ alkenyl, C₁₋₄ alkoxy, C₁₋₈ alkyl, C₁₋₆ alkylamino, C₂₋₈ dialkylamino, C₁₋₄ alkylcarboxamide, C₂₋₆ alkynyl, C₁₋₄ alkylsulfonamide, C₁₋₄ alkylsulfinyl, C₁₋₄ alkylsulfonyl, C₁₋₄ thioalkoxy, C₁₋₄ alkylureido, amino, (C₁₋₆ alkoxy)carbonyl, carboxamide, carboxy, cyano, C₃₋₆ cycloalkyl, C₂₋₆ dialkylcarboxamide, halogen, C₁₋₄ haloalkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkylsulfinyl, C₁₋₄ haloalkylsulfonyl, C₁₋₄ halothioalkoxy, hydroxyl, nitro or phenyl optionally substituted with 1 to 5 halogen atoms;

R⁵, at each independent occurrence, is H, halo, cyano, nitro, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, SR¹¹, SOR¹², SO₂R¹², COR¹², COOR¹¹, OC(O)R¹², NR¹³R¹⁴, or C₃₋₇ cycloalkyl, wherein said C₁₋₆ alkoxy group is optionally substituted with one or more C₁₋₅ acyl, C₁₋₅ acyloxy, C₂₋₆ alkenyl, C₁₋₄ alkoxy, C₁₋₈ alkyl, C₁₋₆ alkylamino, C₂₋₈ dialkylamino, C₁₋₄ alkylcarboxamide, C₂₋₆ alkynyl, C₁₋₄ alkylsulfonamide, C₁₋₄ alkylsulfinyl, C₁₋₄ alkylsulfonyl, C₁₋₄ thioalkoxy, C₁₋₄ alkylureido, amino, (C₁₋₆ alkoxy)carbonyl, carboxamide, carboxy, cyano, C₃₋₆ cycloalkyl, C₂₋₆ dialkylcarboxamide, halogen, C₁₋₄ haloalkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkylsulfinyl, C₁₋₄ haloalkylsulfonyl, C₁₋₄ halothioalkoxy, hydroxyl, nitro or phenyl optionally substituted with 1 to 5 halogen atoms;

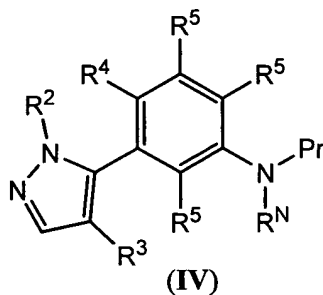
R¹¹ is, independently, H, C₁₋₈ alkyl, C₁₋₈ haloalkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, heteroaryl, C₃₋₇ cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, (C₃₋₇ cycloalkyl)alkyl or (5-7 membered heterocycloalkyl)alkyl;

R^{12} is, independently, H, C_{1-8} alkyl, C_{1-8} haloalkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, aryl, heteroaryl, C_{3-7} cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, (C_{3-7} cycloalkyl)alkyl, (5-7 membered heterocycloalkyl)alkyl, amino, (C_{1-4} alkyl)amino, or di(C_{1-4} alkyl)amino; and

R^{13} and R^{14} are each, independently, H, C_{1-8} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, aryl, heteroaryl, C_{3-7} cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, (C_{3-7} cycloalkyl)alkyl, (5-7 membered heterocycloalkyl)alkyl, (C_{1-8} alkyl)carbonyl, (C_{1-8} haloalkyl)carbonyl, (C_{1-8} alkoxy)carbonyl, (C_{1-8} haloalkoxy)carbonyl, (C_{1-4} alkyl)sulfonyl, (C_{1-4} haloalkyl)sulfonyl or arylsulfonyl;

or R^{13} and R^{14} , together with the N atom to which they are attached form a 5-7 membered heterocycloalkyl group;

comprising reacting a compound of Formula (IV):



wherein:

Pr is an amino protecting group; and

R^N is H;

or Pr and R^N together with the N atom to which they are attached form a cyclic amino protecting group;

with a base for a time and under conditions suitable for forming said compound of Formula (II).

92. (original) The process of claim 91 wherein Pr is an acyl group.

93. Cancelled

94. (original) The process of claim 91 wherein Pr is $-C(O)Me$.

95. (original) The process of claim 91 wherein said base is sodium hydroxide.

96. (original) The process of claim 91 wherein said reacting is carried out in an organic solvent.

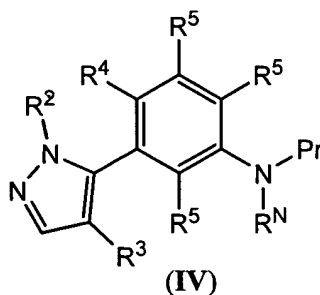
97. (amended) The process of claim ~~97~~96 wherein said organic solvent comprises an alcohol.

98. (original) The process of claim 97 wherein said organic solvent comprises methanol.

99. Cancelled

100. Cancelled

101. (original) A process for the preparation of a compound of Formula (IV):



wherein:

R² is C₁₋₄ alkyl;

R³ is F, Cl, Br or I;

R⁴ is halo, cyano, nitro, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, SR¹¹, SOR¹², SO₂R¹², COR¹², COOR¹¹, OC(O)R¹², NR¹³R¹⁴, or C₃₋₇ cycloalkyl, wherein said C₁₋₆ alkoxy group is optionally substituted with one or more C₁₋₅ acyl, C₁₋₅ acyloxy, C₂₋₆ alkenyl, C₁₋₄ alkoxy, C₁₋₈ alkyl, C₁₋₆ alkylamino, C₂₋₈ dialkylamino, C₁₋₄ alkylcarboxamide, C₂₋₆ alkynyl, C₁₋₄ alkylsulfonamide, C₁₋₄ alkylsulfinyl, C₁₋₄ alkylsulfonyl, C₁₋₄ thioalkoxy, C₁₋₄ alkylureido, amino, (C₁₋₆ alkoxy)carbonyl, carboxamide, carboxy, cyano, C₃₋₆ cycloalkyl, C₂₋₆ dialkylcarboxamide, halogen, C₁₋₄ haloalkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkylsulfinyl, C₁₋₄ haloalkylsulfonyl, C₁₋₄ halothioalkoxy, hydroxyl, nitro or phenyl optionally substituted with 1 to 5 halogen atoms;

R⁵, at each independent occurrence, is H, halo, cyano, nitro, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, SR¹¹, SOR¹², SO₂R¹², COR¹², COOR¹¹, OC(O)R¹², NR¹³R¹⁴, or C₃₋₇ cycloalkyl, wherein said C₁₋₆ alkoxy group is optionally

substituted with one or more C₁₋₅ acyl, C₁₋₅ acyloxy, C₂₋₆ alkenyl, C₁₋₄ alkoxy, C₁₋₈ alkyl, C₁₋₆ alkylamino, C₂₋₈ dialkylamino, C₁₋₄ alkylcarboxamide, C₂₋₆ alkynyl, C₁₋₄ alkylsulfonamide, C₁₋₄ alkylsulfinyl, C₁₋₄ alkylsulfonyl, C₁₋₄ thioalkoxy, C₁₋₄ alkylureido, amino, (C₁₋₆ alkoxy)carbonyl, carboxamide, carboxy, cyano, C₃₋₆ cycloalkyl, C₂₋₆ dialkylcarboxamide, halogen, C₁₋₄ haloalkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkylsulfinyl, C₁₋₄ haloalkylsulfonyl, C₁₋₄ halothioalkoxy, hydroxyl, nitro or phenyl optionally substituted with 1 to 5 halogen atoms;

R¹¹ is, independently, H, C₁₋₈ alkyl, C₁₋₈ haloalkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, heteroaryl, C₃₋₇ cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, (C₃₋₇ cycloalkyl)alkyl or (5-7 membered heterocycloalkyl)alkyl;

R¹² is, independently, H, C₁₋₈ alkyl, C₁₋₈ haloalkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, heteroaryl, C₃₋₇ cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, (C₃₋₇ cycloalkyl)alkyl, (5-7 membered heterocycloalkyl)alkyl, amino, (C₁₋₄ alkyl)amino, or di(C₁₋₄ alkyl)amino;

R¹³ and R¹⁴ are each, independently, H, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, heteroaryl, C₃₋₇ cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, (C₃₋₇ cycloalkyl)alkyl, (5-7 membered heterocycloalkyl)alkyl, (C₁₋₈ alkyl)carbonyl, (C₁₋₈ haloalkyl)carbonyl, (C₁₋₈ alkoxy)carbonyl, (C₁₋₈ haloalkoxy)carbonyl, (C₁₋₄ alkyl)sulfonyl, (C₁₋₄ haloalkyl)sulfonyl or arylsulfonyl;

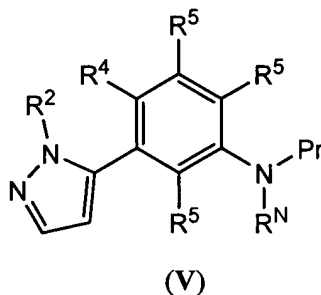
or R¹³ and R¹⁴, together with the N atom to which they are attached form a 5-7 membered heterocycloalkyl group;

Pr is an amino protecting group; and

R^N is H;

or Pr and R^N together with the N atom to which they are attached form a cyclic amino protecting group;

comprising reacting a compound of Formula (V):



with a halogenating reagent for a time and under conditions suitable for forming said compound of Formula (IV).

102. Cancelled

103. (amended) The process of claim ~~102~~101 wherein said halogenating reagent is a brominating reagent.

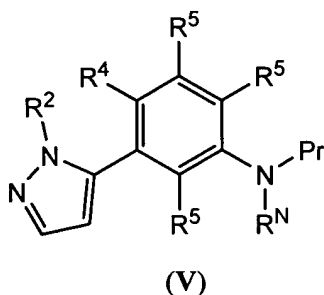
104. (original) The process of claim 103 wherein said halogenating reagent comprises N-bromosuccinimide.

105. (original) The process of claim 104 wherein said reacting is carried out in an organic solvent.

106. (original) The process of claim 105 wherein said organic solvent comprises an alcohol.

107. (original) The process of claim 106 wherein said organic solvent comprises methanol.

108. (original) A process for preparing a compound of Formula (V):



wherein:

R² is C₁₋₄ alkyl;

R³ is F, Cl, Br or I;

R⁴ is halo, cyano, nitro, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, SR¹¹, SOR¹², SO₂R¹², COR¹², COOR¹¹, OC(O)R¹², NR¹³R¹⁴, or C₃₋₇ cycloalkyl, wherein said C₁₋₆ alkoxy group is optionally substituted with one or more C₁₋₅ acyl, C₁₋₅ acyloxy, C₂₋₆ alkenyl, C₁₋₄ alkoxy, C₁₋₈ alkyl, C₁₋₆ alkylamino, C₂₋₈ dialkylamino, C₁₋₄ alkylcarboxamide, C₂₋₆ alkynyl, C₁₋₄ alkylsulfonamide, C₁₋₄ alkylsulfinyl, C₁₋₄ alkylsulfonyl, C₁₋₄ thioalkoxy, C₁₋₄ alkylureido, amino, (C₁₋₆ alkoxy)carbonyl, carboxamide, carboxy, cyano, C₃₋₆ cycloalkyl, C₂₋₆ dialkylcarboxamide, halogen, C₁₋₄ haloalkoxy, C₁₋₄ haloalkyl, C₁₋

$_4$ haloalkylsulfinyl, C_{1-4} haloalkylsulfonyl, C_{1-4} halothioalkoxy, hydroxyl, nitro or phenyl optionally substituted with 1 to 5 halogen atoms;

R^5 , at each independent occurrence, is H, halo, cyano, nitro, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} alkoxy, SR^{11} , SOR^{12} , SO_2R^{12} , COR^{12} , $COOR^{11}$, $OC(O)R^{12}$, $NR^{13}R^{14}$, or C_{3-7} cycloalkyl, wherein said C_{1-6} alkoxy group is optionally substituted with one or more C_{1-5} acyl, C_{1-5} acyloxy, C_{2-6} alkenyl, C_{1-4} alkoxy, C_{1-8} alkyl, C_{1-6} alkylamino, C_{2-8} dialkylamino, C_{1-4} alkylcarboxamide, C_{2-6} alkynyl, C_{1-4} alkylsulfonamide, C_{1-4} alkylsulfinyl, C_{1-4} alkylsulfonyl, C_{1-4} thioalkoxy, C_{1-4} alkylureido, amino, (C_{1-6} alkoxy)carbonyl, carboxamide, carboxy, cyano, C_{3-6} cycloalkyl, C_{2-6} dialkylcarboxamide, halogen, C_{1-4} haloalkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkylsulfinyl, C_{1-4} haloalkylsulfonyl, C_{1-4} halothioalkoxy, hydroxyl, nitro or phenyl optionally substituted with 1 to 5 halogen atoms;

R^{11} is, independently, H, C_{1-8} alkyl, C_{1-8} haloalkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, aryl, heteroaryl, C_{3-7} cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, (C_{3-7} cycloalkyl)alkyl or (5-7 membered heterocycloalkyl)alkyl;

R^{12} is, independently, H, C_{1-8} alkyl, C_{1-8} haloalkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, aryl, heteroaryl, C_{3-7} cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, (C_{3-7} cycloalkyl)alkyl, (5-7 membered heterocycloalkyl)alkyl, amino, (C_{1-4} alkyl)amino, or di(C_{1-4} alkyl)amino;

R^{13} and R^{14} are each, independently, H, C_{1-8} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, aryl, heteroaryl, C_{3-7} cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, (C_{3-7} cycloalkyl)alkyl, (5-7 membered heterocycloalkyl)alkyl, (C_{1-8} alkyl)carbonyl, (C_{1-8} haloalkyl)carbonyl, (C_{1-8} alkoxy)carbonyl, (C_{1-8} haloalkoxy)carbonyl, (C_{1-4} alkyl)sulfonyl, (C_{1-4} haloalkyl)sulfonyl or arylsulfonyl;

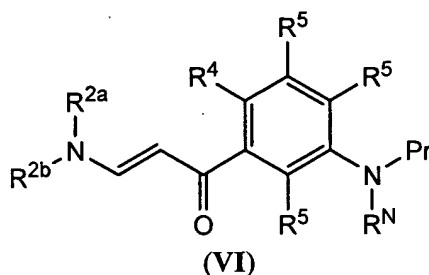
or R^{13} and R^{14} , together with the N atom to which they are attached form a 5-7 membered heterocycloalkyl group;

Pr is an amino protecting group; and

R^N is H;

or Pr and R^N together with the N atom to which they are attached form a cyclic amino protecting group;

comprising reacting a compound of Formula (VI):

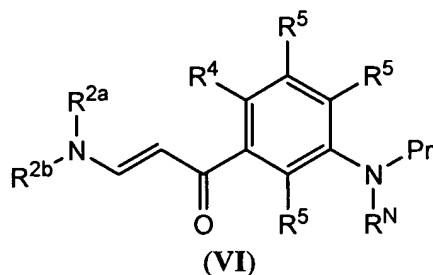


wherein R^{2a} and R^{2b} are each, independently, C_{1-4} alkyl, with an alkylhydrazine having the formula NH_2NH-R^2 for a time and under conditions suitable for forming said compound of Formula (V).

109. (original) The process of claim 108 wherein R^2 is methyl.
110. (original) The process of claim 108 wherein said reacting is carried out in the presence of an organic solvent.
111. (original) The process of claim 110 wherein said organic solvent comprises an alcohol.
112. (original) The process of claim 110 wherein said organic solvent comprises methanol.
113. (original) The process of claim 108 wherein said reacting is carried out in the presence of an acid.
114. Cancelled
115. (original) The process of claim 113 wherein said acid comprises HCl.

Claims 116 to 119 cancelled

120. (original) A process for preparing a compound of Formula (VI):



wherein:

R^{2a} and R^{2b} are each, independently, C_{1-4} alkyl;

R^4 is halo, cyano, nitro, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} alkoxy, SR^{11} , SOR^{12} , SO_2R^{12} , COR^{12} , $COOR^{11}$, $OC(O)R^{12}$, $NR^{13}R^{14}$, or C_{3-7} cycloalkyl, wherein said C_{1-6} alkoxy group is optionally substituted with one or more C_{1-5} acyl, C_{1-5} acyloxy, C_{2-6} alkenyl, C_{1-4} alkoxy, C_{1-8} alkyl, C_{1-6} alkylamino, C_{2-8} dialkylamino, C_{1-4} alkylcarboxamide, C_{2-6} alkynyl, C_{1-4} alkylsulfonamide, C_{1-4} alkylsulfinyl, C_{1-4} alkylsulfonyl, C_{1-4} thioalkoxy, C_{1-4} alkylureido, amino, $(C_{1-6}$ alkoxy)carbonyl, carboxamide, carboxy, cyano, C_{3-6} cycloalkyl, C_{2-6} dialkylcarboxamide, halogen, C_{1-4} haloalkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkylsulfinyl, C_{1-4} haloalkylsulfonyl, C_{1-4} halothioalkoxy, hydroxyl, nitro or phenyl optionally substituted with 1 to 5 halogen atoms;

R^5 , at each independent occurrence, is H, halo, cyano, nitro, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} alkoxy, SR^{11} , SOR^{12} , SO_2R^{12} , COR^{12} , $COOR^{11}$, $OC(O)R^{12}$, $NR^{13}R^{14}$, or C_{3-7} cycloalkyl, wherein said C_{1-6} alkoxy group is optionally substituted with one or more C_{1-5} acyl, C_{1-5} acyloxy, C_{2-6} alkenyl, C_{1-4} alkoxy, C_{1-8} alkyl, C_{1-6} alkylamino, C_{2-8} dialkylamino, C_{1-4} alkylcarboxamide, C_{2-6} alkynyl, C_{1-4} alkylsulfonamide, C_{1-4} alkylsulfinyl, C_{1-4} alkylsulfonyl, C_{1-4} thioalkoxy, C_{1-4} alkylureido, amino, $(C_{1-6}$ alkoxy)carbonyl, carboxamide, carboxy, cyano, C_{3-6} cycloalkyl, C_{2-6} dialkylcarboxamide, halogen, C_{1-4} haloalkoxy, C_{1-4} haloalkyl, C_{1-4} haloalkylsulfinyl, C_{1-4} haloalkylsulfonyl, C_{1-4} halothioalkoxy, hydroxyl, nitro or phenyl optionally substituted with 1 to 5 halogen atoms;

R^{11} is, independently, H, C_{1-8} alkyl, C_{1-8} haloalkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, aryl, heteroaryl, C_{3-7} cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, $(C_{3-7}$ cycloalkyl)alkyl or (5-7 membered heterocycloalkyl)alkyl;

R^{12} is, independently, H, C_{1-8} alkyl, C_{1-8} haloalkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, aryl, heteroaryl, C_{3-7} cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, $(C_{3-7}$ cycloalkyl)alkyl, (5-7 membered heterocycloalkyl)alkyl, amino, $(C_{1-4}$ alkyl)amino, or di(C_{1-4} alkyl)amino;

R^{13} and R^{14} are each, independently, H, C_{1-8} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, aryl, heteroaryl, C_{3-7} cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, (C_{3-7} cycloalkyl)alkyl, (5-7 membered heterocycloalkyl)alkyl, (C_{1-8} alkyl)carbonyl, (C_{1-8} haloalkyl)carbonyl, (C_{1-8} alkoxy)carbonyl, (C_{1-8} haloalkoxy)carbonyl, (C_{1-4} alkyl)sulfonyl, (C_{1-4} haloalkyl)sulfonyl or arylsulfonyl;

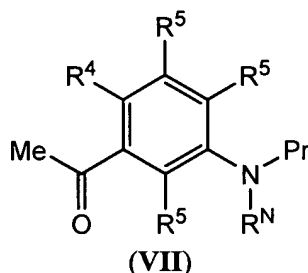
or R^{13} and R^{14} , together with the N atom to which they are attached form a 5-7 membered heterocycloalkyl group;

Pr is an amino protecting group; and

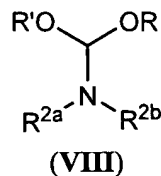
R^N is H;

or Pr and R^N together with the N atom to which they are attached form a cyclic amino protecting group;

comprising reacting a compound of Formula (VII):



with an acetal of Formula (VIII):



wherein R and R' are each, independently, C_{1-6} alkyl, arylalkyl or alkylaryl, or R and R' together with the O atoms to which they are attached and the intervening CH group form a 5- or 6-membered heterocycloalkyl group; for a time and under conditions suitable for forming said compound of Formula (VI).

121. Cancelled

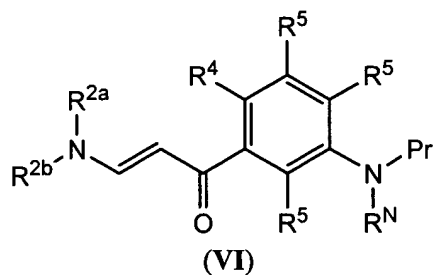
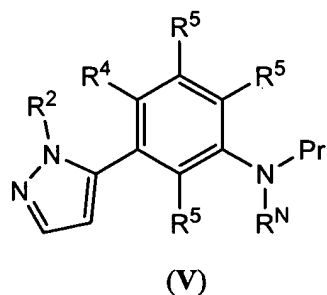
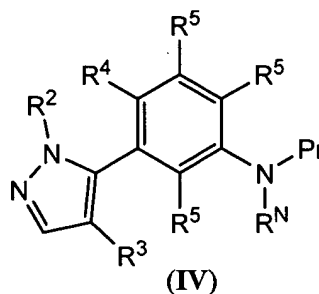
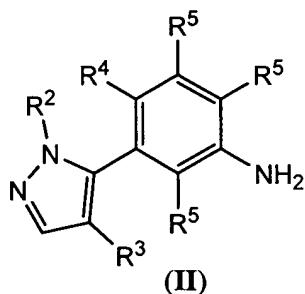
122. (original) The process of claim 120 wherein said R and R' are both methyl.

123. (original) The process of claim 120 wherein said R^{2a} and R^{2b} are both methyl.

124. (original) The process of claim 120 wherein said reacting with an acetal of Formula (VIII) is carried out in a solvent.
125. (original) The process of claim 124 wherein said solvent comprises an alcohol.
126. (original) The process of claim 124 wherein said solvent comprises ethanol.
127. (original) The process of claim 120 wherein said reacting with an acetal of Formula (VIII) is carried out at about reflux temperature.

Claims 128 to 130 cancelled

131. (original) A compound of Formula (II), (IV), (V) or (VI):



wherein:

R² is C₁₋₄ alkyl;

R³ is F, Cl, Br or I;

R⁴ is halo, cyano, nitro, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, SR¹¹, SOR¹², SO₂R¹², COR¹², COOR¹¹, OC(O)R¹², NR¹³R¹⁴, or C₃₋₇ cycloalkyl, wherein said C₁₋₆ alkoxy group is optionally substituted with one or more C₁₋₅ acyl, C₁₋₅ acyloxy, C₂₋₆ alkenyl, C₁₋₄ alkoxy, C₁₋₈ alkyl, C₁₋₆ alkylamino, C₂₋₈ dialkylamino, C₁₋₄ alkylcarboxamide, C₂₋₆ alkynyl, C₁₋₄ alkylsulfonamide, C₁₋₄ alkylsulfinyl, C₁₋₄ alkylsulfonyl,

C₁₋₄ thioalkoxy, C₁₋₄ alkylureido, amino, (C₁₋₆ alkoxy)carbonyl, carboxamide, carboxy, cyano, C₃₋₆ cycloalkyl, C₂₋₆ dialkylcarboxamide, halogen, C₁₋₄ haloalkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkylsulfanyl, C₁₋₄ haloalkylsulfonyl, C₁₋₄ halothioalkoxy, hydroxyl, nitro or phenyl optionally substituted with 1 to 5 halogen atoms;

R⁵, at each independent occurrence, is H, halo, cyano, nitro, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, SR¹¹, SOR¹², SO₂R¹², COR¹², COOR¹¹, OC(O)R¹², NR¹³R¹⁴, or C₃₋₇ cycloalkyl, wherein said C₁₋₆ alkoxy group is optionally substituted with one or more C₁₋₅ acyl, C₁₋₅ acyloxy, C₂₋₆ alkenyl, C₁₋₄ alkoxy, C₁₋₈ alkyl, C₁₋₆ alkylamino, C₂₋₈ dialkylamino, C₁₋₄ alkylcarboxamide, C₂₋₆ alkynyl, C₁₋₄ alkylsulfonamide, C₁₋₄ alkylsulfanyl, C₁₋₄ alkylsulfonyl, C₁₋₄ thioalkoxy, C₁₋₄ alkylureido, amino, (C₁₋₆ alkoxy)carbonyl, carboxamide, carboxy, cyano, C₃₋₆ cycloalkyl, C₂₋₆ dialkylcarboxamide, halogen, C₁₋₄ haloalkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkylsulfanyl, C₁₋₄ haloalkylsulfonyl, C₁₋₄ halothioalkoxy, hydroxyl, nitro or phenyl optionally substituted with 1 to 5 halogen atoms;

R¹¹ is, independently, H, C₁₋₈ alkyl, C₁₋₈ haloalkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, heteroaryl, C₃₋₇ cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, (C₃₋₇ cycloalkyl)alkyl or (5-7 membered heterocycloalkyl)alkyl;

R¹² is, independently, H, C₁₋₈ alkyl, C₁₋₈ haloalkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, heteroaryl, C₃₋₇ cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, (C₃₋₇ cycloalkyl)alkyl, (5-7 membered heterocycloalkyl)alkyl, amino, (C₁₋₄ alkyl)amino, or di(C₁₋₄ alkyl)amino;

R¹³ and R¹⁴ are each, independently, H, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, heteroaryl, C₃₋₇ cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, (C₃₋₇ cycloalkyl)alkyl, (5-7 membered heterocycloalkyl)alkyl, (C₁₋₈ alkyl)carbonyl, (C₁₋₈ haloalkyl)carbonyl, (C₁₋₈ alkoxy)carbonyl, (C₁₋₈ haloalkoxy)carbonyl, (C₁₋₄ alkyl)sulfonyl, (C₁₋₄ haloalkyl)sulfonyl or arylsulfonyl;

or R¹³ and R¹⁴, together with the N atom to which they are attached form a 5-7 membered heterocycloalkyl group;

Pr is an amino protecting group;

R^N is H;

or Pr and R^N together with the N atom to which they are attached form a cyclic amino protecting group; and

R^{2a} and R^{2b} are each, independently, C₁₋₄ alkyl.

Claims 132 to 154 cancelled

155. (original) The compound of claim 131 wherein said compound has Formula (II) and R² is methyl; R³ is Cl or Br; R⁴ is methoxy; and R⁵, at each occurrence, is H.
156. (original) The compound of claim 131 wherein said compound has Formula (IV) and R² is methyl; R³ is Br; R⁴ is methoxy; R⁵, at each occurrence, is H; and Pr is -C(O)Me.
157. Cancelled
158. (original) The compound of claim 131 wherein said compound has Formula (V) and R² is methyl; R⁴ is methoxy; R⁵, at each occurrence, is H; and Pr is -C(O)Me.
159. (original) The compound of claim 131 wherein said compound has Formula (VI) and R^{2a} is methyl; R^{2b} is methyl; R⁴ is methoxy; R⁵, at each occurrence, is H; and Pr is -C(O)Me.
160. (new) The process of claim 96 wherein said reacting is carried out at about 0 to about 100°C.

Remarks

Applicants request that the Title be changed according to the amendment made by the International Search Authority as described herein.

The specification has been amended to add the "Cross Reference to related application" section showing that this application is claiming priority to an earlier US provisional application.

After entry of the above amendment, Claims 1, 26, 27, 32-35, 40, 41, 45, 46, 59, 67, 80, 91, 92, 94-98, 101, 103-113, 115, 120, 122-127, 131, 155, 156, and 158-160 will be pending in this application.

Claims 1, 26, 27, 32-35, 40, 41, 45, 91, 92, 94-96, 98, 101, 104-113, 115, 120, 122-127, 131, 155, 156, 158, and 159 are original claims.

Pending Claims 46, 59, 67, 80, 97, and 103 have been amended.

Claim 46 was amended to replace "reacting" with "deprotecting", support for this amendment can be found on pages 14 and 28-31.

Claim 59 was amended to replace "reacting" with "halogenating", support for this amendment can be found on pages 14 and 31-32.

Claim 67 was amended to replace "reacting" with "cyclizing", support for this amendment can be found on pages 14 and 32-33.

Claim 80 was amended to replace "reacting" with "condensing", support for this amendment can be found on pages 14 and 34-36.

Claims 97 and 103 have been amended to change dependency.

Claims 2-25, 28-31, 36-39, 42-44, 47-58, 60-66, 68-79, 81-90, 93, 99, 100, 102, 114, 116-119, 121, 128-130, and 132-154 have been canceled without prejudice.

New Claim 160 has been added without introducing new matter. Support for new Claim 160 can be found in the specification, for example, see published PCT application page 29, line 16.

Appln. No.: PCT/US2004/023880

Docket No.: 83.US2.PCT

Preliminary Amendment Dated: September 21, 2006

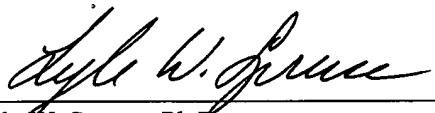
Page 42 of 42

10/593847

Applicants respectfully submitted that no new matter has been added by way of this amendment. The Commissioner is hereby authorized to charge any fees under 37 CFR §§1.16, 1.17, and 1.492 as required by this paper to Deposit Account No. 50-1441.

Respectfully submitted,

Date: September 21, 2006



Lyle W. Spruce, Ph.D.
Registration No. 53,631

Arena Pharmaceuticals, Inc.
6166 Nancy Ridge Drive
San Diego, CA 92121
Telephone: (858) 453-7200 x1425
Facsimile: (858) 812-3224